10/ 019,945

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	Feb 24	PCTGEN now available on STN
NEWS 4	Feb 24	TEMA now available on STN
NEWS 5	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS 6	Feb 26	PCTFULL now contains images
NEWS 7	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8	Mar 24	PATDPAFULL now available on STN
NEWS 9	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS 10	Apr 11	Display formats in DGENE enhanced
NEWS 11	Apr 14	MEDLINE Reload
NEWS 12	Apr 17	Polymer searching in REGISTRY enhanced
NEWS 13	AUG 22	Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS 15	Apr 28	RDISCLOSURE now available on STN
NEWS 16	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS 18	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19	May 19	Simultaneous left and right truncation added to WSCA
NEWS 20	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21	Jun 06	Simultaneous left and right truncation added to CBNB
NEWS 22	Jun 06	PASCAL enhanced with additional data
NEWS 23	Jun 20	2003 edition of the FSTA Thesaurus is now available
NEWS 24	Jun 25	HSDB has been reloaded
NEWS 25	Jul 16	Data from 1960-1976 added to RDISCLOSURE
NEWS 26	Jul 21	Identification of STN records implemented
NEWS 27	Jul 21	Polymer class term count added to REGISTRY
NEWS 28	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 29	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 30	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS 32	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS 33	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS 34	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS 35	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 36	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 37	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS 38	AUG 18	Simultaneous left and right truncation added to ANABSTR

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 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
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 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:47:17 ON 25 AUG 2003
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DICTIONARY FILE UPDATES:  22 AUG 2003  HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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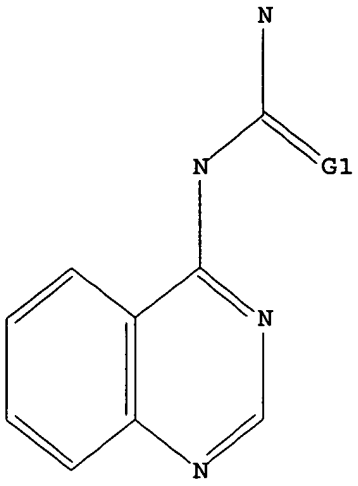
10/ 019,945



G1 O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 11:47:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17923 TO ITERATE

100.0% PROCESSED 17923 ITERATIONS 708 ANSWERS
SEARCH TIME: 00.00.01

L2 708 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 11:47:43 ON 25 AUG 2003
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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9
FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

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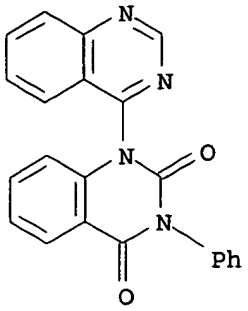
L3 38 L2

=> d l3 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 38 ANSWERS - CONTINUE? Y/(N):y

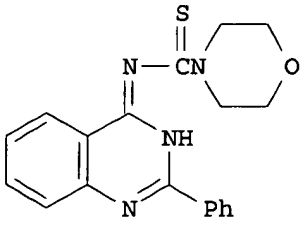
L3 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:221342 CAPLUS
DOCUMENT NUMBER: 139:101096
TITLE: Synthesis and antiinflammatory screening of some quinazoline and quinazolyl-4-oxoquinazoline derivatives
AUTHOR(S): Gineinah, Magdy M.; El-Sherbeny, Magda A.; Nasr, Magda N.; Maarouf, Azza R.
CORPORATE SOURCE: Pharmaceutical Organic Chemistry, College of Pharmacy, Mansoura University, Mansoura, 35516, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2003), Volume Date 2002, 335(11-12), 556-562
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Synthesis of some new derivs. of 2-aryl-4-oxo-1-(4-quinazolyl)quinazolines is described. Me N-(4-quinazolyl)anthranilate was allowed to react with Ph iso(thio)cyanate to give 3-phenyl-1-(4-quinazolyl)-1,2,3,4-tetrahydro-2,4-dioxo-and 4-oxo-2-thioxoquinazolines. Alternatively, anthranilic acid amide derivs. were subjected to cyclization with arom. aldehydes to give 2-aryl-4-oxo-1-(4-quinazolyl)-1,2,3,4-tetrahydroquinazolines. On the other hand, 2-chloro-4-(4-substituted 1-piperazinyl)quinazoline derivs. were subjected to the same type of reactions at the 2-position to afford the corresponding quinazoline derivs. Furthermore, an acid amide was cyclized with acid chlorides to give the corresponding 2-aryl-1-(2-chloro-4-quinazolyl)-4-oxo-1,4-dihydroquinazolines, from which triazoloquinazoline derivs. were synthesized through an intermediate hydrazine derivs. Most of the newly synthesized compds. were tested for their antiinflammatory activities. However, some of the novel compds. were found to exhibit good antiinflammatory potencies. Compds. thus prepd. included 2,3-dihydro-3-phenyl-2-thioxo[1(4H),4'-biquinazolin]-4-one, 3-phenyl[1,4'(1H,3'H)-biquinazoline]-2,4'-dione, 2,3-dihydro-2-phenyl[1(4H),4'-biquinazolin]-4-one, 2'-chloro-2-(3-chlorophenyl)[1(4H),4'-biquinazolin]-4-one, 2'-chloro-2-(4-bromophenyl)[1(4H),4'-biquinazolin]-4-one, 2-(3-chlorophenyl)-1-[1-(3-nitrophenyl)[1,2,4]triazolo[4,3-a]quinazolin-4-yl]-4(1H)quinazolinone, 2-(4-bromophenyl)-1-[1-(3-nitrophenyl)[1,2,4]triazolo[4,3-a]quinazolin-4-yl]-4(1H)quinazolinone, etc.
IT **561065-13-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of [biquinazoline]diones, [(thioxo)biquinazolin]ones and [1,2,4]triazolo[4,3-a]quinazolinyl]quinazolinones)
RN 561065-13-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:61743 CAPLUS
DOCUMENT NUMBER: 138:401687
TITLE: Reactivity study on 4-morpholinecarbothioic acid (2-phenyl-3H-quinazolin-4-ylidene)amide
AUTHOR(S): Fathalla, Walid; Cajan, Michal; Marek, Jaromir; Pazdera, Pavel
CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Science, Masaryk University, Brno, Czech Rep.
SOURCE: Journal of Heterocyclic Chemistry (2002), 39(6), 1145-1152
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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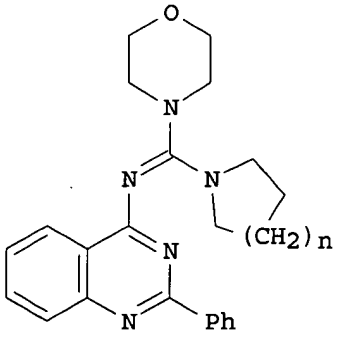

II

AB Regioselective reactions of the title compd. (I) were studied. I reacts with alkyl halides in basic medium to afford S-substituted isothiourea derivs., with amines to give 1,1-disubstituted 3-(2-phenyl-3H-quinazolin-4-ylidene)thioureas and 1-substituted 3-(2-phenyl-quinazolin-4-yl)thioureas via transamination. Reaction of I with amines in the presence of H2O2 provided 4-morpholinecarboximidamides (II; n = 1, 2) via oxidative desulfurization. Estn. of reactivity sites on I was supported by ab initio (HF/6-31G**) quantum chem. calcns. IR, 1H NMR, 13C NMR, and mass spectroscopy and x-ray anal. were used to identify the products.

IT **400053-06-7P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (regioselective reactions of 4-morpholinecarbothioic acid (2-phenyl-3H-quinazolin-4-ylidene)amide)

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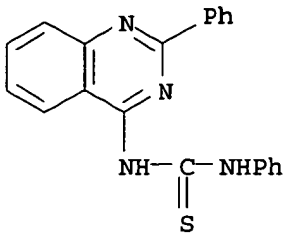
RN 400053-06-7 CAPLUS
CN Thiourea, N-phenyl-N'-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



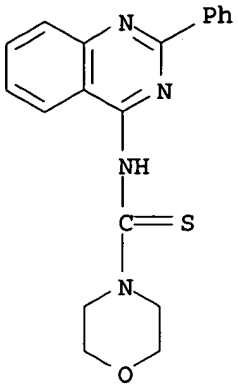
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:61742 CAPLUS
DOCUMENT NUMBER: 138:401686
TITLE: New domino-reaction for the synthesis of N4-(5-aryl-1,3-oxathiol-2-yliden)-2-phenylquinazolin-4-amines and 4-[4-aryl-5-(2-phenylquinazolin-4-yl)-1,3-thiazol-2-yl]morpholine
AUTHOR(S): Fathalla, Walid; Marek, Jaromir; Pazdera, Pavel
CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Science, Masaryk University, Brno, Czech Rep.
SOURCE: Journal of Heterocyclic Chemistry (2002), 39(6), 1139-1144
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:401686

AB Morpholine-1-carbothioic acid (2-phenyl-3H-quinazolin-4-ylidene) amide reacts with phenacyl bromides to afford N4-(5-aryl-1,3-oxathiol-2-yliden)-2-phenylquinazolin-4-amines or N4-(4,5-diphenyl-1,3-oxathiol-2-yliden)-2-phenyl-4-aminoquinazoline by a thermodynamically controlled reversible reaction favoring the enolate intermediate, while 4-[4-aryl-5-(2-phenylquinazolin-4-yl)-1,3-thiazol-2-yl]morpholine was produced by a kinetically controlled reaction favoring the C-anion intermediate.

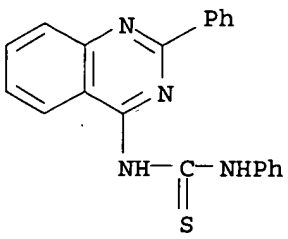
IT **400604-97-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(domino-reaction of morpholine-1-carbothioic acid (2-phenyl-3H-quinazolin-4-ylidene) amide with phenacyl bromides)

RN 400604-97-9 CAPLUS
CN 4-Morpholinecarbothioamide, N-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:718030 CAPLUS
DOCUMENT NUMBER: 138:287611
TITLE: The synthesis of new N3-aryl-N1-(2-phenylquinazolin-4-yl)thioureas
AUTHOR(S): Fathalla, Walid; Pazdera, Pavel
CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Science, Masaryk University, Brno, Czech Rep.
SOURCE: ARKIVOC (Gainesville, FL, United States) [online computer file] (2002), (1), 7-11
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2002/General/1-283A/1-283A.pdf
PUBLISHER: Arkat USA Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:287611
AB Domino-reactions between N2-(2-cyanophenyl)-N1-thioxomethylidenebenzene-1-carboximidamide and aryl amines leading to the N3-aryl-N1-(2-phenylquinazolin-4-yl)thioureas are described. FTIR, 1H NMR, 13C NMR, mass spectroscopy and x-ray structural anal. made identity of the synthesized compds.
IT **400053-06-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of N3-aryl-N1-(2-phenylquinazolin-4-yl)thioureas by domino-reactions)
RN 400053-06-7 CAPLUS
CN Thiourea, N-phenyl-N'-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

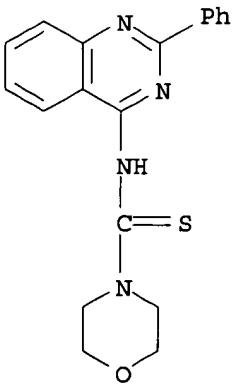
L3 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:506001 CAPLUS
DOCUMENT NUMBER: 137:352982
TITLE: Synthesis of new 4-[4-(4-methoxyphenyl)-5-(2-phenylquinazolin-4-yl)-1,3-thiazol-2-yl]morpholine and N4-[5-(4-methoxyphenyl)-1,3-oxathiol-2-ylidene]-2-phenylquinazolin-4-ylamine
AUTHOR(S): Fathalla, Walid; Marek, Jaromir; Pazdera, Pavel
CORPORATE SOURCE: Department of Organic Chemistry, Masaryk University, Brno, 611 37, Czech Rep.
SOURCE: Heterocyclic Communications (2002), 8(2), 157-160
CODEN: HCOMEX; ISSN: 0793-0283
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. (I and II, resp.) were prepd. by reaction of thiourea deriv. III with 4-methoxyphenacyl bromide. II is the kinetically controlled reversible reaction product; I is the thermodynamically controlled product.

IT **400604-97-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
((morpholinothiazolyl)quinazoline and oxathiolylidenequinazolinamine derivs. via cyclocondensation of quinazolinylidenethiourea with methoxyphenacyl bromide)

RN 400604-97-9 CAPLUS
CN 4-Morpholinecarbothioamide, N-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:31424 CAPLUS
DOCUMENT NUMBER: 136:102393
TITLE: Preparation of quinazolinylureas for treatment of solid tumors.
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca Uk Ltd.
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2

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DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002534	A1	20020110	WO 2001-GB2874	20010628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2002016758	A5	20020114	AU 2002-16758	20010628

PRIORITY APPLN. INFO.: EP 2000-401897 A 20000703
WO 2001-GB2874 W 20010628

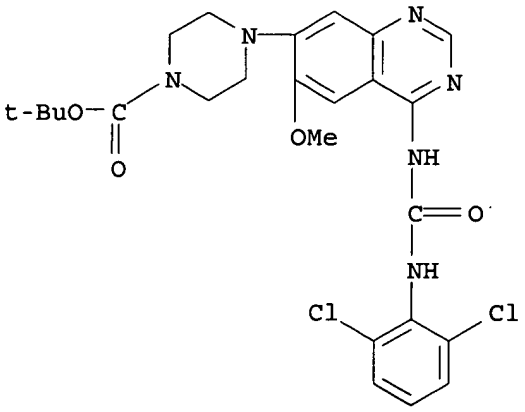
OTHER SOURCE(S): MARPAT 136:102393

AB Use of Q1R2NC(:Z)NR3Q2 [Q1 = (substituted) (fused) quinazolinyl, quinolinyl, etc.; Q2 = (substituted) aryl, aralkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl; R2, R3 = H, alkyl; R2R3 = CH2, CH2CH2, (CH2)3] as antiinvasive agents in the containment and/or treatment of solid tumor disease is claimed. Thus, 2,6-dichlorophenyl isocyanate was added to a soln. of 4-amino-6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazoline (prepn. given) in CH2Cl2/DMF followed by stirring to give 1-(2,6-dichlorophenyl)-3-[6-methoxy-7-(N-methylpiperidin-4-ylmethoxy)quinazolin-4-yl]urea. Title compds. inhibited proliferation of NIH 3T3 fibroblasts with IC50 in the range, for example, of 0.001-10 .mu.M.

IT **320364-63-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinylureas for treatment of solid tumors)

RN 320364-63-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2,6-dichlorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:10463 CAPLUS
DOCUMENT NUMBER: 136:85816
TITLE: Synthesis of guanidine derivatives of quinazoline and quinoline for use in the treatment of autoimmune diseases
INVENTOR(S): Poyser, Jeffrey Philip
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 150 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000644	A1	20020103	WO 2001-GB2698	20010619
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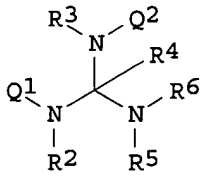
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1296973	A1	20030402	EP 2001-940757	20010619
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: GB 2000-15376 A 20000624
GB 2000-30989 A 20001219
WO 2001-GB2698 W 20010619
OTHER SOURCE(S): MARPAT 136:85816
GI



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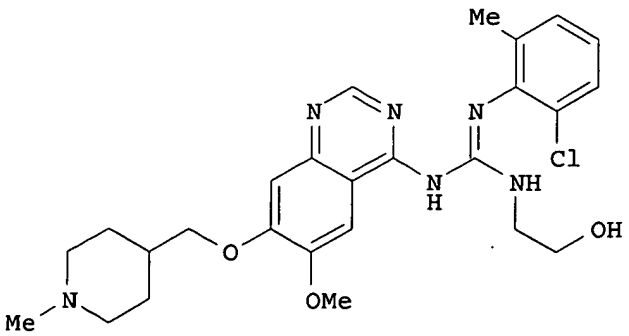


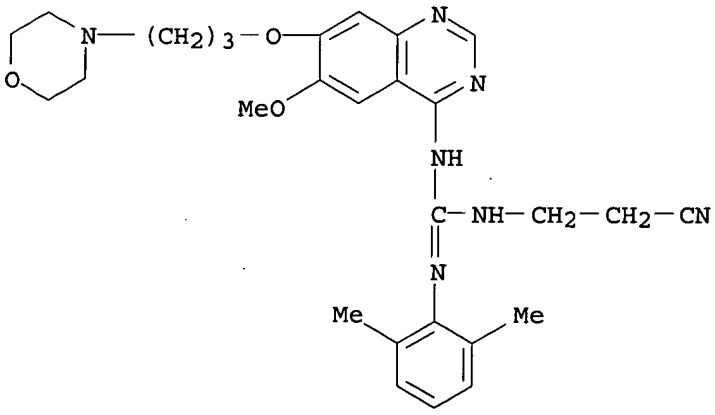
II

AB Title compds. I [Q1 = (un)substituted quinazolinyl and quinazolinyl-like ring; R2 = H, alkyl; R3 = H, alkyl, or R2 and R3 together form a CH2, (CH2)2 or (CH2)3 group; R5 = H, alkyl, or R5 and R6 together with the N atom to which they are attached form a 4- to 7-membered heterocyclic ring optionally contg. a further heteroatom selected from 0, N and S, provided that one of the pairs of groups R2 and R4 together, R3 and R4 together and R5 and R4 together forms a bond; Q2 = aryl, arylalkyl, arylcycloalkyl, heteroaryl, heteroarylalkyl or heteroarylcycloalkyl; R6 = (un)substituted group selected from alkenyl, alkynyl, cycloalkyl and cycloalkenyl, or R6 is a substituted alkyl group, and wherein adjacent carbon atoms in any alkylene chain within a R6 group are optionally sepd. by the insertion into the chain of a group selected from 0, S, SO, SO2, amino, CO, etc.; or a tautomer thereof] were prepd. Over 100 synthetic examples were provided. E.g., Et 3-methoxy-4-((N-methylpiperidin-4-yl)methoxy)benzoate (prepn. given) was nitrated (CH2Cl2, TFA, HNO3, 0.degree.C), the nitro group reduced (MeOH, Pt/C, 1.8 atm H2), the product condensed/cyclized (2-methoxyethanol, 115.degree.C, 2 h) and treated with thionyl chloride to give 4-chloro-6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazoline. This intermediate was treated with 4-bromo-2-fluorophenol (DMF, K2CO3, 100.degree.C, 2.5 h), ammonia in isopropanol (2M, 130.degree.C, 16 h) to give the 4-aminoquinazoline deriv. which was reacted with 2-chloro-6-methylphenylisothiocyanate (DMF, NaH) to afford 1-(2-chloro-6-methylphenyl)-3-[6-methoxy-7-((N-methylpiperidin-4-yl)methoxy)quinazolin-4-yl]thiourea. The thiourea was treated with 2-aminoethanol (CHCl3/MeOH, HgO, 2 h) to give example compd. II. I are used in the prevention or treatment of T cell mediated diseases.

IT **385812-61-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug; synthesis of guanidine derivs. of quinazoline and quinoline for use in treatment of autoimmune diseases)

RN 385812-61-3 CAPLUS

CN Guanidine, N-(2-cyanoethyl)-N'-(2,6-dimethylphenyl)-N''-[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:727667 CAPLUS
DOCUMENT NUMBER: 136:183778
TITLE: One-pot quinazolin-4-ylthiourea synthesis via N-(2-cyanophenyl)benzimidoyl isothiocyanate

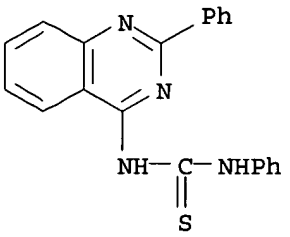
10/ 019,945

AUTHOR(S): Fathalla, W.; Cajan, M.; Marek, J.; Pazdera, P.
CORPORATE SOURCE: Dep. Org. Chem., Faculty Science, Masaryk Univ., Brno, Czech Rep.
SOURCE: Molecules [online computer file] (2001), 6(7), 588-602
CODEN: MOLEFW; ISSN: 1420-3049
URL: http://www.mdpi.org/molecules/papers/60700588.pdf
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

AB 1-Substituted-3-(2-phenylquinazolin-4-yl) thioureas were produced by an intramol. cycloaddn. reaction of 1-substituted-3-[(2-cyanophenylimino)phenylmethyl] thioureas. These compds. in turn were prepd. by the reaction of N-(2-cyanophenyl)benzimidoyl isothiocyanate with primary amines. The structures were confirmed by FTIR, 1H-NMR, 13C-NMR, mass spectroscopy and x-ray crystallog.

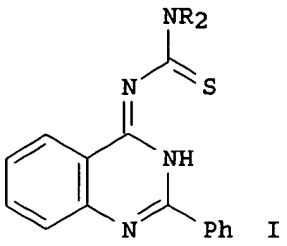
IT **400053-06-7P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (phenylquinazolinyl) thioureas by intramol. cycloaddn. reaction of [(cyanophenylimino)phenylmethyl] thioureas)

RN 400053-06-7 CAPLUS
CN Thiourea, N-phenyl-N'-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:727295 CAPLUS
DOCUMENT NUMBER: 136:183777
TITLE: One-pot quinazolin-4-ylidenethiourea synthesis via N-(2-cyanophenyl)benzimidoyl isothiocyanate
AUTHOR(S): Fathalla, Walid M.; Cajan, Michal; Marek, Jaromir; Pazdera, Pavel
CORPORATE SOURCE: Dep. Org. Chem., Faculty of Science, Masaryk Univ., Brno, Czech Rep.
SOURCE: Molecules [online computer file] (2001), 6(7), 574-587
CODEN: MOLEFW; ISSN: 1420-3049
URL: http://www.mdpi.org/molecules/papers/60700574.pdf
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
GI



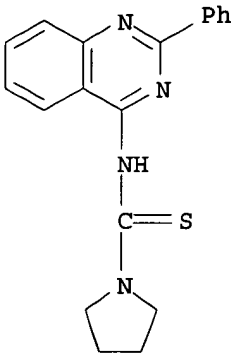
I

AB 1,1-Disubstituted 3-(2-phenyl-3H-quinazolin-4-ylidene)thioureas (I; NR2 = morpholino, piperidino, 1-pyrrolidinyl, 4-methyl-1-piperazinyl, NBu2, NPh2) were synthesized in a one pot reaction of N-(2-cyanophenyl)benzimidoyl isothiocyanate with secondary amines. The products underwent transamination reactions.

IT **400604-99-1P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(one-pot quinazolin-4-ylidenethiourea synthesis via N-(2-cyanophenyl)benzimidoyl isothiocyanate)

RN 400604-99-1 CAPLUS

CN 1-Pyrrolidinecarbothioamide, N-(2-phenyl-4-quinazolinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:676589 CAPLUS

DOCUMENT NUMBER: 135:227013

TITLE: Preparation of quinazolinylureas and analogs as VEGF receptor antagonists

INVENTOR(S): Hennequin, Laurent Francois Andre; Crawley, Graham Charles; McKerrecher, Darren; Ple, Patrick; Poyser, Jeffrey Philip; Lambert, Christine Marie Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 170 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

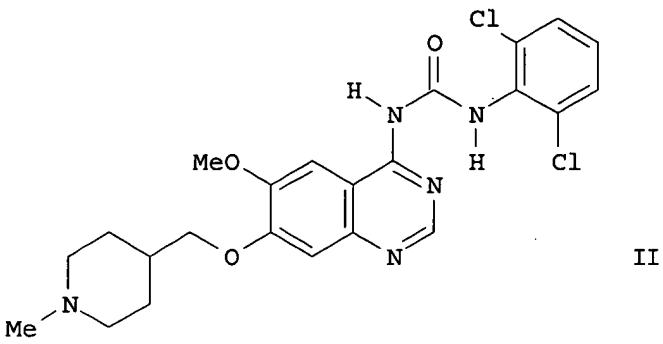
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 019,945

WO 2001066099 A2 20010913 WO 2001-GB863 20010301
WO 2001066099 A3 20020321
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1272185 A2 20030108 EP 2001-907938 20010301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.: EP 2000-400595 A 20000306
WO 2001-GB863 W 20010301
OTHER SOURCE(S): MARPAT 135:227013
GI



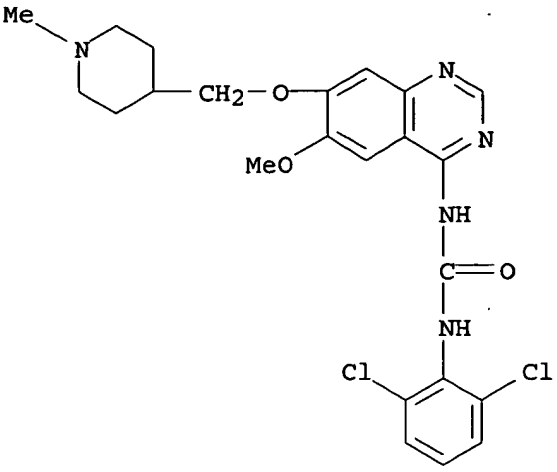
II

AB Q1NR2C(:X)NR3Q2 [I; Q1 = e.g., (un)substituted 4-quinazolinyl; Q2 = (un)substituted (hetero)aryl(alkyl), cycloalkyl, etc.; R2,R3 = H or alkyl; R2R3 = (CH2)1-3; X = O, S, NCN, (alkyl)imino] were prepd. Thus, Et piperidine-4-carboxylate was converted in 7 steps to Et 2-amino-5-methoxy-4-(1-methylpiperidine-4-ylmethoxy)benzoate which was cyclocondensed with HC(:NH)NH2.HOAc and the product converted in 4 steps to title compd. II. Data for biol. activity of I were given.

IT **320363-02-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolinylureas and analogs as VEGF receptor antagonists)

RN 320363-02-8 CAPLUS

CN Urea, N-(2,6-dichlorophenyl)-N'-[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]- (9CI) (CA INDEX NAME)

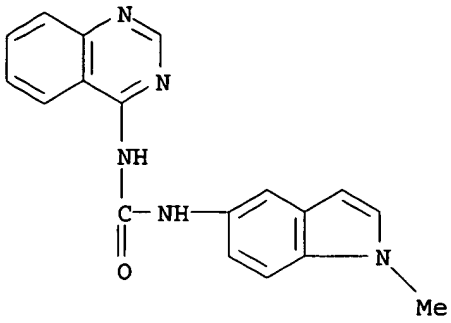


L3 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:518623 CAPLUS
DOCUMENT NUMBER: 135:313150
TITLE: 1,3-Biarylureas as selective non-peptide antagonists of the orexin-1 receptor
AUTHOR(S): Porter, R. A.; Chan, W. N.; Coulton, S.; Johns, A.; Hadley, M. S.; Widdowson, K.; Jerman, J. C.; Brough, S. J.; Coldwell, M.; Smart, D.; Jewitt, F.; Jeffrey, P.; Austin, N.
CORPORATE SOURCE: New Frontiers Science Park North, GlaxoSmithKline Pharmaceuticals, Harlow, Essex, CM19 5AW, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1907-1910
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This communication reports SARs for the first orexin-1 receptor antagonist series of 1-aryl-3-quinolin-4-yl and 1-aryl-3-naphthyridin-4-yl ureas. One of these compds., 31 (SB-334867), has excellent selectivity for the orexin-1 receptor, blood-brain barrier permeability and shows in vivo activity following i.p. dosing.

IT **367953-08-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(1,3-Biarylureas as selective non-peptide antagonists of orexin-1 receptor)

RN 367953-08-0 CAPLUS
CN Urea, N-(1-methyl-1H-indol-5-yl)-N'-4-quinazolinyl- (9CI) (CA INDEX NAME)



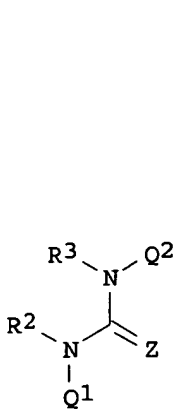
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:50631 CAPLUS
DOCUMENT NUMBER: 134:100885
TITLE: Preparation of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions
INVENTOR(S): Crawley, Graham Charles; McKerrecher, Darren; Poyser, Jeffrey Philip; Hennequin, Laurent Francois Andre; Ple, Patrick; Lambert, Christine Marie-Paul
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK; Zeneca Pharma S.A.
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004102	A1	20010118	WO 2000-GB2566	20000704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012157	A	20020402	BR 2000-12157	20000704
EP 1218353	A1	20020703	EP 2000-953271	20000704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003504360	T2	20030204	JP 2001-509712	20000704
NO 2002000042	A	20020304	NO 2002-42	20020104

PRIORITY APPLN. INFO.: EP 1999-401692 A 19990707
EP 2000-401221 A 20000504
WO 2000-GB2566 W 20000704

OTHER SOURCE(S): MARPAT 134:100885
GI



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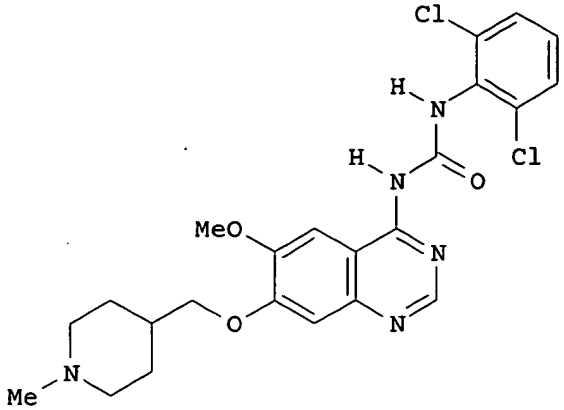


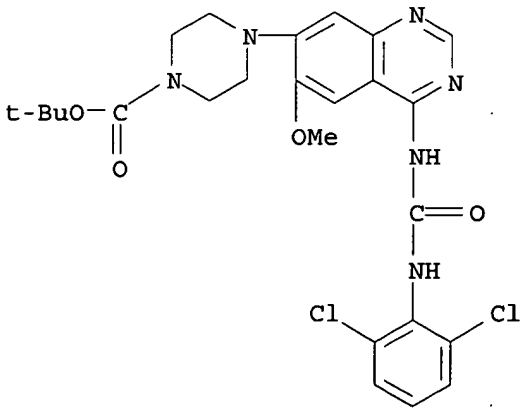
II

AB The title compds. [I; Q1 = quinazoline ring optionally substituted with halo, CF3 or CN, or a group X1Q3 (wherein X1 = a direct bond, O; Q3 = aryl, arylalkyl, heterocyclyl, (heterocyclyl)alkyl); R2, R3 = H, alkyl; Z = O, S, NH; Q2 = aryl, arylalkyl] and their pharmaceutically-acceptable salts, useful in the prevention or treatment of T cell mediated diseases or medical conditions such as transplant rejection or rheumatoid arthritis, were prepd. and formulated. E.g., a multi-step synthesis of the urea II was given. In general, activity possessed by compds. I may be demonstrated at IC50 of 0.0001- 5 .mu.M against enzyme p56lck binding and IC50 of 0.001-10 .mu.M in in vitro T cell proliferation assay (T cell receptor stimulation).

IT **320364-63-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinyl ureas, thioureas and guanidines for use in the prevention or treatment of T cell mediated diseases or medical conditions)

RN 320364-63-4 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[(2,6-dichlorophenyl)amino]carbonyl]amino]-6-methoxy-7-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

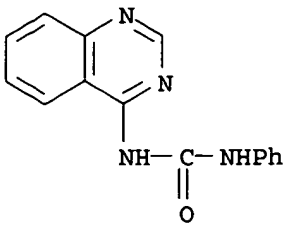
10/ 019,945

L3 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:304988 CAPLUS
DOCUMENT NUMBER: 133:89495
TITLE: Isoquinoline and Quinazoline Urea Analogues as Antagonists for the Human Adenosine A3 Receptor
AUTHOR(S): Van Muijlwijk-Koezen, Jacqueline E.; Timmerman, Henk; Van der Goot, Henk; Menge, Wiro M. P. B.; Von Kuenzel, Jacobien Frijtag; De Groote, Miriam; IJzerman, Adriaan P.
CORPORATE SOURCE: Leiden/Amsterdam Center for Drug Research Division of Medicinal Chemistry Department of Pharmacochemistry, Vrije Universiteit, Amsterdam, 1081 HV, Neth.
SOURCE: Journal of Medicinal Chemistry (2000), 43(11), 2227-2238
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Isoquinoline and quinazoline urea derivs. were found to bind to human adenosine A3 receptors. Series of N-phenyl-N'-quinazolin-4-ylurea derivs. and N-phenyl-N'-isoquinolin-1-ylurea derivs. were synthesized and tested in radioligand binding assays on their adenosine receptor affinities. A structure-affinity anal. indicated that on the 2-position of the quinazoline ring or the equiv. 3-position of the isoquinoline ring a Ph or heteroaryl substituent increased the adenosine A3 receptor affinity in comparison to unsubstituted or aliph. derivs. Furthermore, the structure-affinity relationship of substituted phenylurea analogs was investigated. Substituents such as electron-withdrawing or electron-donating groups were introduced at different positions of the benzene ring to probe electronic and positional effects of substitution. Substitution on the 3- or 4-position of the Ph ring decreased the adenosine A3 receptor affinity. Substitution at position 2 with an electron-donating substituent, such as Me or methoxy, increased human adenosine A3 receptor affinity, whereas substitution on the 2-position with an electron-withdrawing substituent did not influence affinity. Combination of the optimal substituents in the two series had an additive effect, which led to the potent human adenosine A3 receptor antagonist N-(2-methoxyphenyl)-N'-(2-(3-pyridyl)quinazolin-4-yl)urea (VUF5574, I) showing a Ki value of 4 nM and being at least 2500-fold selective vs. A1 and A2A receptors. Compd. I competitively antagonized the effect of an agonist in a functional A3 receptor assay, i.e., inhibition of cAMP prodn. in cells expressing the human adenosine A3 receptor; a pA2 value of 8.1 was derived from a Schild plot. In conclusion, compd. I is a potent and selective human adenosine A3 receptor antagonist and might be a useful tool in further characterization of the human A3 receptor.

IT **280138-90-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of isoquinoline and quinazoline urea analogs as antagonists for human adenosine A3 receptor)

RN 280138-90-1 CAPLUS
CN Urea, N-phenyl-N'-4-quinazolinyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:156358 CAPLUS
DOCUMENT NUMBER: 130:223290
TITLE: Preparation of fused pyrimidine derivatives for a blood oxygen partial pressure amelioration
INVENTOR(S): Nakashima, Yoshiharu; Fujita, Takashi; Hizuka, Michiyo; Ikawa, Hiroshi; Hiruma, Toru
PATENT ASSIGNEE(S): Fujirebio Inc., Japan
SOURCE: Eur. Pat. Appl., 105 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 899263	A2	19990303	EP 1998-115258	19980813
EP 899263	A3	19990310		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11124371	A2	19990511	JP 1998-227161	19980811
JP 3221406	B2	20011022		
US 2001006969	A1	20010705	US 1998-132706	19980812
US 6339089	B2	20020115		

PRIORITY APPLN. INFO.: JP 1997-218767 A 19970813
JP 1997-218768 A 19970813
OTHER SOURCE(S): MARPAT 130:223290
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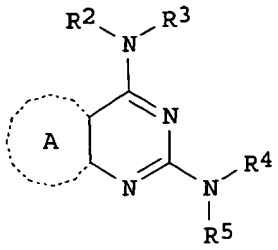

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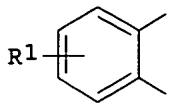
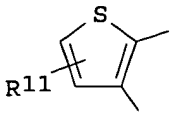
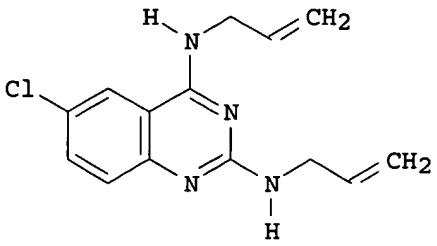


II



III



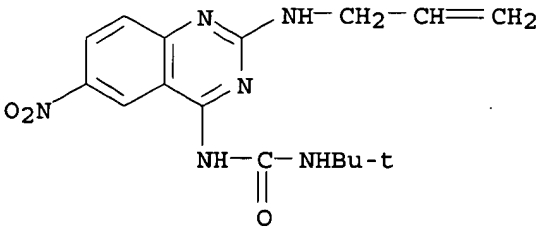
IV

AB The title compds. [I; A = II (wherein R1 = NO2, (un)substituted NH2, halo, III (R11 = alkyl group, alkenyl group)); R2-R5 = alkyl, alkenyl; with the proviso that at least one of R2-R5 = alkenyl group] and their acid addn. salts, useful for blood oxygen pressure amelioration, esp. in the treatment of hypoxemia, were prepd. Thus, reaction of 4-allylamino-2,6-dichloroquinazoline with allylamine in 1,3-dimethyl-2-imidazolidinone afforded 87% IV which showed arterial blood oxygen partial pressure increase (.DELTA.PaO2) of 35 mm Hg.

IT **221042-14-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused pyrimidine derivs. for a blood oxygen partial pressure amelioration)

RN 221042-14-4 CAPLUS

CN Urea, N-(1,1-dimethylethyl)-N'-[6-nitro-2-(2-propenylamino)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:745041 CAPLUS

DOCUMENT NUMBER: 130:10618

TITLE: Modulating serine/threonine protein kinase function with quinazoline-based compounds and their use as antitumor and anti-fibrotic agents

INVENTOR(S): Tang, Peng C.; McMahon, Gerald; Weinberger, Heinz;

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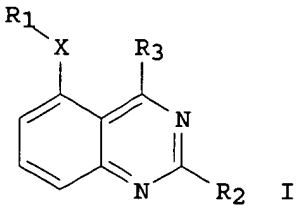
Kutscher, Bernhard; App, Harald
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850370	A1	19981112	WO 1998-US9060	19980501
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9803669	A	19991101	ZA 1998-3669	19980430
AU 9872829	A1	19981127	AU 1998-72829	19980501
EP 981519	A1	20000301	EP 1998-920203	19980501
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6204267	B1	20010320	US 1998-71682	19980501
JP 2001524128	T2	20011127	JP 1998-548336	19980501
US 2001014679	A1	20010816	US 2001-769360	20010126

PRIORITY APPLN. INFO.:
US 1997-45351P P 19970502
US 1997-60152P P 19970926
US 1998-71682 A3 19980501
WO 1998-US9060 W 19980501

OTHER SOURCE(S): CASREACT 130:10618; MARPAT 130:10618
GI



I

AB The present invention is directed in part towards methods of modulating the function of serine/threonine protein kinases with quinazoline-based compds (I). The methods incorporate cells that express a serine/threonine protein kinase, such as RAF. In addn., the invention describes methods of preventing and treating serine/threonine protein kinase-related abnormal conditions (e.g., tumors, fibrotic disorders, or other signal transduction aberrations) in organisms with a compd. identified by the invention. Furthermore, the invention pertains to quinazoline compds. and pharmaceutical compns. comprising these compds. Syntheses and biol. activities are are provided for 38 quinazoline-based compds.

IT **212632-66-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(modulating serine/threonine protein kinase function with

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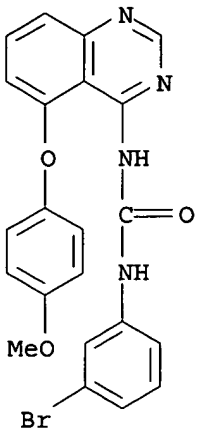
quinazoline-based compds. and their use as antitumor and anti-fibrotic agents)

RN 212632-66-1 CAPLUS

CN Urea, N-(3-bromophenyl)-N'-[5-(4-methoxyphenoxy)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:612013 CAPLUS

DOCUMENT NUMBER: 129:221202

TITLE: Formulations for hydrophobic pharmaceutical agents

INVENTOR(S): Shenoy, Narmada; Wagner, Gregory S.

PATENT ASSIGNEE(S): Sugen, Inc., USA

SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838984	A2	19980911	WO 1998-US4134	19980304
WO 9838984	A3	19990128		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9866806	A1	19980922	AU 1998-66806	19980304
AU 743024	B2	20020117		
EP 1014953	A2	20000705	EP 1998-908884	19980304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 337394	A	20010525	NZ 1998-337394	19980304
US 6248771	B1	20010619	US 1998-34374	19980304
JP 2001514626	T2	20010911	JP 1998-538698	19980304
NZ 510991	A	20021126	NZ 1998-510991	19980304
US 2001012844	A1	20010809	US 2001-797842	20010305

PRIORITY APPLN. INFO.: US 1997-39870P P 19970305

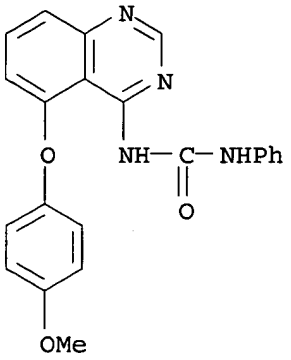
US 1997-41251P P 19970318
US 1998-34374 A3 19980304
WO 1998-US4134 W 19980304
OTHER SOURCE(S): MARPAT 129:221202

AB The present invention features formulations, including liq., semi-solid or solid pharmaceutical formulations, that improve the oral bioavailability of hydrophobic pharmaceutical agents, such as quinazoline-, nitrothiazole-, and indolinone-based compds. Also featured are formulations for parenteral delivery of such hydrophobic pharmaceutical agents, as well as methods of making and using both types of formulations. A claimed formulation comprises the hydrophobic pharmaceutical agents, polyoxyhydrocarbyl compds, and surfactants. A parenteral soln. contained 3-[(2,4-dimethylpyrrol-5-yl)methylene]-2-indolinone 5, PEG-400 35, Cremophor EL 25, benzyl alc. 2, ethanol 11.4, and sterile water to 100 % wt./vol.

IT **212632-65-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydrophobic quinazoline drugs in; formulations for hydrophobic drugs contg. polyoxyhydrocarbyl compds. and surfactants to improve soly.)

RN 212632-65-0 CAPLUS

CN Urea, N-[5-(4-methoxyphenoxy)-4-quinazolinyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:741244 CAPLUS
DOCUMENT NUMBER: 128:70433
TITLE: Epidermal growth factor receptor tyrosine kinase: structure-activity relationships and antitumor activity of novel quinazolines
AUTHOR(S): Gibson, K. H.; Brundy, W.; Godfrey, A. A.; Woodburn, J. R.; Ashton, S. E.; Curry, B. J.; Scarlett, L.; Barker, A. J.; Brown, D. S.
CORPORATE SOURCE: Research Dep. Cancer, Metabolism and Endocrine, Zeneca Pharmaceuticals, Alderley Park, Macclesfield, Cheshire, SK10 4TG, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(21), 2723-2728
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Investigation of structure-activity relationships of novel quinazolines had identified a 4-(4-isoquinolylamino)-quinazoline and a 4-(trans-2-phenylcyclopropylamino)-quinazoline as potent inhibitors of

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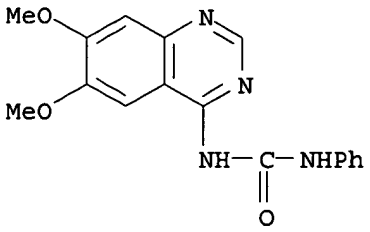
EGF-receptor tyrosine kinase in vitro. Further modifications of the latter compd. have identified a deriv. which shows anti-tumor activity against a tumor xenograft model when doses orally once per day.

IT **200719-54-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor activity of EGF-receptor tyrosine kinase-inhibiting quinazolines)

RN 200719-54-6 CAPLUS
CN Urea, N-(6,7-dimethoxy-4-quinazolinyl)-N'-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:385652 CAPLUS
DOCUMENT NUMBER: 127:5020
TITLE: Preparation of quinolines as H+-ATPases inhibitors
INVENTOR(S): Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Oku, Teruo; Kawai, Yoshio; Satoh, Shigeki; Yamazaki, Hitoshi; Kayakiri, Natsuko; Urano, Yasuharu; Yoshihara, Kousei; Yoshida, Noriko
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714681	A1	19970424	WO 1996-JP2981	19961015
W: AU, CA, CN, JP, KR, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9672288	A1	19970507	AU 1996-72288	19961015
EP 876345	A1	19981111	EP 1996-933647	19961015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11514361	T2	19991207	JP 1996-515680	19961015
US 6008230	A	19991228	US 1998-51093	19980414

PRIORITY APPLN. INFO.: GB 1995-21102 19951016
AU 1996-1811 19960821
WO 1996-JP2981 19961015

OTHER SOURCE(S): MARPAT 127:5020
GI

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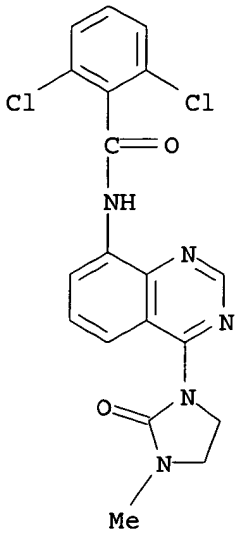
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = (un)substituted heterocyclic or aryl group; A = CONH, NHCO; n = 0-1; Y = II, III (wherein R2- R4 = H, halo, lower alkyl, etc.; X1 = O, S, NH); Z together with N = IV, V, VI, etc. (wherein R5 = H, lower alkyl; R6 = H, halo, lower alkyl, etc.; R7 = H, lower alkyl, a heterocyclic group, etc.)] and their pharmaceutically acceptable salts, useful for the prevention and/or the treatment of bone diseases caused by abnormal bone metab. in human beings or animals, were prepd. Thus, treatment of 8-(2,6-dichlorobenzoylamino)-3-cyano-4-methylquinoline with NBS in the presence of 2,2'-azobis(isobutyronitrile) in Cl(CH2)2Cl and CCl4 followed by reaction of the resulting 4-bromomethyl-8-(2,6-dichlorobenzoylamino)-3-cyanoquinoline with imidazole in Cl(CH2)2Cl, and treatment of the free base with 10% HCl/MeOH afforded VII.HCl which showed 100% inhibition of PTH-induced bone resorption.

IT **190132-17-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinolines as H+-ATPases)

RN 190132-17-3 CAPLUS

CN Benzamide, 2,6-dichloro-N-[4-(3-methyl-2-oxo-1-imidazolidinyl)-8-quinazolinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:128868 CAPLUS

DOCUMENT NUMBER: 116:128868

TITLE: Steric and polar factors involving heteroring opening of 2-(.alpha.-benzoylamino-p-methoxystyryl)-6,8-dibromo-3,1-benzoxazin-4(H)-one

AUTHOR(S): Elkafrawy, A. F.

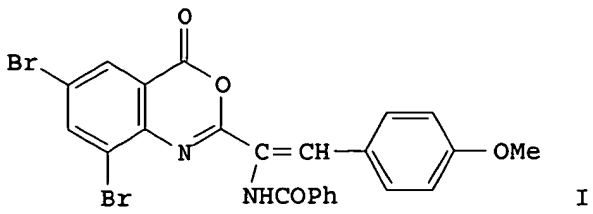
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1992), 31B(1), 19-23
CODEN: IJSBDB; ISSN: 0376-4699

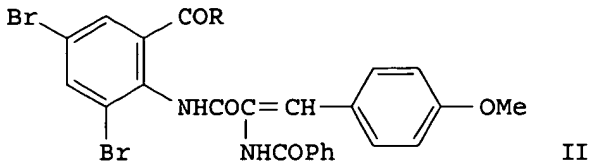
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



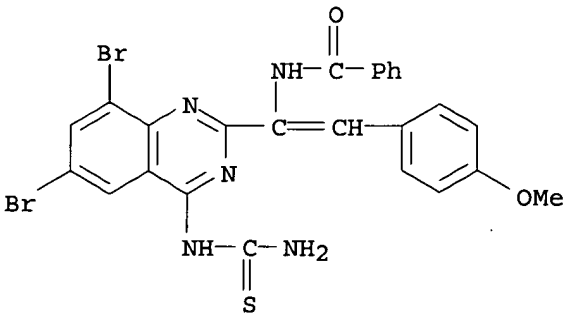
II

AB Dibromobenzoxazinone I was prepd. by reacting 4-(p-methoxybenzylidene)-2-phenyloxazol-5-one with 3,5-dibromoanthranilic acid in HOAc followed by cyclization in Ac2O. Reactions of I with amines, MeCOCH2CO2Et, NaN3, P2S5, MeCO2NH4, and maleic anhydride were studied. Hydrazinolysis of I with H2NNH2 and PhNHNH2 gave dibromoanthranilic acid hydrazides II (R = NHNHR1, R1 = H, Ph). Reacting I with P2S5 gave the thione.

IT **139221-91-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 139221-91-3 CAPLUS

CN Benzamide, N-[1-[4-[(aminothioxomethyl)amino]-6,8-dibromo-2-quinazolinyl]-2-(4-methoxyphenyl)ethenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:449596 CAPLUS

DOCUMENT NUMBER: 115:49596

TITLE: Synthesis and cardiotonic activity of 6,7-dimethoxyquinazoline derivatives

AUTHOR(S): Morgalyuk, V. P.; Azimov, V. A.; Bondarenko, V. A.; Denisov, A. V.; Yuzhakov, S. D.; Mashkovskii, M. D.; Yakhontov, L. N.

CORPORATE SOURCE: TSKhLS, VNIKhFI, Moscow, USSR

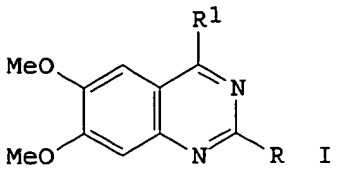
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1991), 25(1), 28-32
CODEN: KHFZAN; ISSN: 0023-1134

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 115:49596

GI



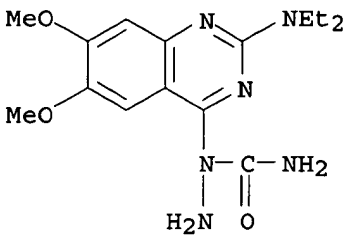
I

AB The title derivs., e.g., I [R = H, Cl, F, NHNH2, NHNHPh, Me, dialkylamino; R1 = NH2, NHNHPh, NHNHCONH2, N(NH2)CONH2], were prepd. from I (R = R1 = Cl) and tested for cardiotonic activity.

IT **134749-39-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and cardiotonic activity of)

RN 134749-39-6 CAPLUS

CN Hydrazinecarboxamide, 1-[2-(diethylamino)-6,7-dimethoxy-4-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

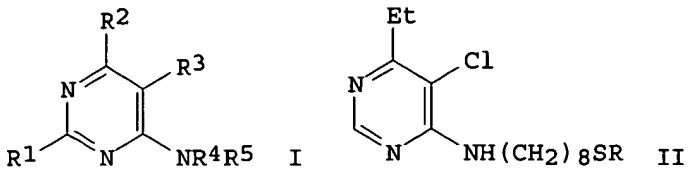


● HCl

L3 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:247303 CAPLUS
DOCUMENT NUMBER: 114:247303
TITLE: Preparation of aminopyrimidine derivatives as pesticides and fungicides
INVENTOR(S): Obata, Tokio; Fujii, Katsutoshi; Narita, Isamu; Shikita, Shoji
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

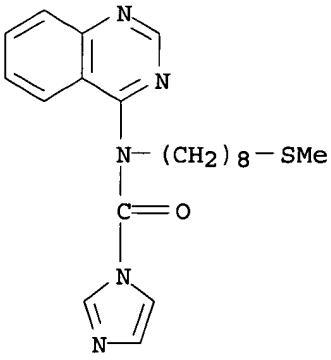
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 411634	A2	19910206	EP 1990-114864	19900802
EP 411634	A3	19910731		
R: DE, FR, GB, IT				
JP 03063265	A2	19910319	JP 1989-199210	19890802
JP 03127789	A2	19910530	JP 1989-262913	19891011
JP 04026681	A2	19920129	JP 1990-126956	19900518

US 5124333 A 19920623 US 1990-558798 19900726
PRIORITY APPLN. INFO.: JP 1989-199210 19890802
JP 1989-262913 19891011
OTHER SOURCE(S): MARPAT 114:247303
GI



I II

AB Aminopyrimidine derivs. I [R1 = H, C1-4 alkyl, halo, C3-6 cycloalkyl; R2, R3 = halo, C1-4 alkyl, R2R3 = (substituted) 5- or 6-membered ring residue contg. optional O or S atom; R4 = H, CONR6R7 wherein R6R7 = heterocyclyl residue contg. addnl. N atom; R5 = R9S(O)n(CH2)mCHR8 or R9S(O)n(CH2)p wherein R8 = H, C1-4 alkyl, C3-6 cycloalkyl; R9 = C3-5 alkenyl, alkynyl, (substituted) Ph, etc.; m = 1-10, n = 0, 1, 2; p = 4-15], useful as insecticides, acaricides, nematocides, and fungicides, are prepd. A mixt. of mercapto compd. II (R = H) 0.80, PhCHMeBr 0.58, and K2CO3 0.55 g in DMF was heated at 100.degree. to give 0.85 g thioether II (R = PhCHMe), which showed 100% control of brown rice planthoppers and two-spotted spider mites at 300 ppm. Also prepd. were 97 addnl. I. Fungicidal activity against barley powdery mildew, wheat rust, and rice blast were also given.
IT **134103-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as pesticide and fungicide)
RN 134103-46-1 CAPLUS
CN 1H-Imidazole-1-carboxamide, N-[8-(methylthio)octyl]-N-4-quinazolinyl- (9CI) (CA INDEX NAME)



L3 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:207181 CAPLUS
DOCUMENT NUMBER: 114:207181
TITLE: Synthesis and some reactions of 2-[.alpha.-(benzoylamino)styryl]-6,8-dibromo-3,1-benzoxazin-4(H)-one, quinazolin-4(3H)-one, and chloroquinazoline derivatives with some nucleophilic reagents
AUTHOR(S): El-Nagdy, S.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt
SOURCE: Asian Journal of Chemistry (1990), 2(4), 368-78
CODEN: AJCHEW; ISSN: 0970-7077

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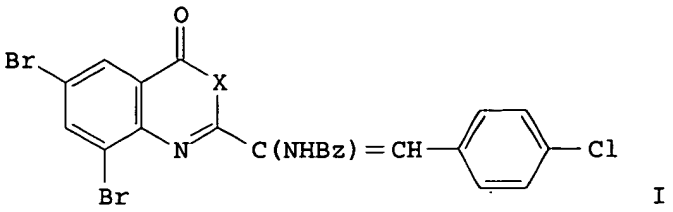
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



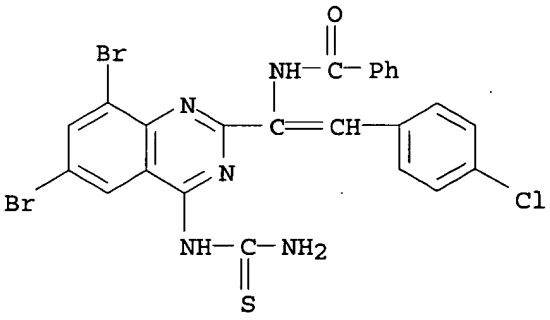
I

AB The title compds. were prepn. and their reactions were investigated. Thus, 3,5-dibromoanthranilic acid was treated with 4-(p-chlorobenzylidene)-2-phenyloxazol-5-one and the product cyclized by Ac2O to give the benzoxazinone I (X = O). I (X = O) was treated with NH4OAc to give I (X = NH). I (X = O) and NH2NH2 gave 2,4,6-Br2(H2NNHCO)C6H2NHCOC(NHBz):CHC6H4Cl-p.

IT **133615-94-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 133615-94-8 CAPLUS

CN Benzamide, N-[1-[4-[(aminothioxomethyl)amino]-6,8-dibromo-2-quinazolinyl]-2-(4-chlorophenyl)ethenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1990:459210 CAPLUS
DOCUMENT NUMBER: 113:59210
TITLE: Preparation of 4-ureidopyrimidines as agrochemicals
INVENTOR(S): Obata, Tokio; Fujii, Katsutoshi; Narita, Isamu; Shikita, Shoji
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 46 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

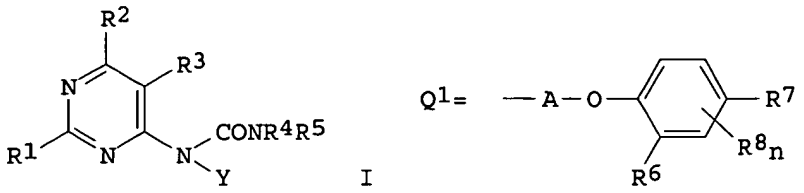
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 356158	A1	19900228	EP 1989-308382	19890817
R: DE, ES, FR, GB, IT				
JP 02223564	A2	19900905	JP 1989-199208	19890802
JP 07020943	B4	19950308		

ZA 8906308	A	19900530	ZA 1989-6308	19890818
US 5073558	A	19911217	US 1989-427818	19891026

PRIORITY APPLN. INFO.: JP 1988-204728 19880819
JP 1988-300996 19881130
US 1989-394197 19890815

OTHER SOURCE(S): MARPAT 113:59210

GI



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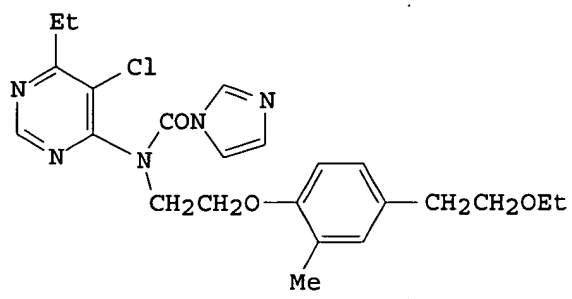


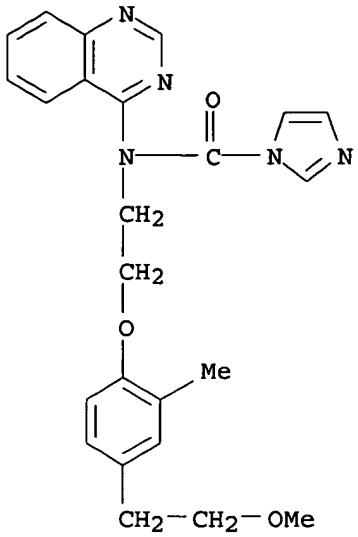
II

AB The title compds. [I; R1 = H, halo, alkyl, cycloalkyl; R2, R3 = halo, alkyl; R2R3 = atoms to complete an (O- or S-interrupted) (satd.) 5- or 6-membered ring; R4, R5 = H, alkyl, formyl, aralkyl, (substituted) Ph; R4R5N = (N-, O-, or S-interrupted) (substituted) 5- or 6-membered ring; Y = Q1, CHR9(CH2)mR10; A = C2-6 alkylene; R6, R8 = H, alkyl, halo; n = 1, 2; R7 = H, alkenyl, (substituted) dioxolanylmethyl, ethoxyiminoalkyl, alkyl; R9 = H, alkyl; m = 4-15; R10 = alkyl, alkoxy, halo, AcO, (substituted) PhO] were prepd. Thus, 5-chloro-N-[2-[4-(2-ethoxyethyl)-2-methylphenoxy]ethyl]-6-ethyl-4-pyrimidineamine was treated with Cl3COCOCl and Et3N to give the N-chlorocarbonyl deriv., which was treated with imidazole and Et3N to give [(imidazolylcarbonyl)amino]pyrimidine II. II as a 300 ppm soln. gave complete control of brown rice plant hoppers.

IT **128335-15-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as agrochem. bactericide, acaricide, nematocide, and insecticide)

RN 128335-15-9 CAPLUS

CN 1H-Imidazole-1-carboxamide, N-[2-[4-(2-methoxyethyl)-2-methylphenoxy]ethyl]-N-4-quinazolinyl- (9CI) (CA INDEX NAME)



L3 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:626078 CAPLUS
DOCUMENT NUMBER: 105:226078
TITLE: Benzoylurea derivatives having antitumor activity
INVENTOR(S): Brouwer, Marius S.; Van Hes, Roelof
PATENT ASSIGNEE(S): Duphar International Research B. V., Neth.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 193249	A2	19860903	EP 1986-200300	19860227
EP 193249	A3	19880316		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8600881	A	19860902	DK 1986-881	19860226
AU 8654108	A1	19860904	AU 1986-54108	19860226
AU 601145	B2	19900906		
ZA 8601446	A	19861029	ZA 1986-1446	19860226
ES 552432	A1	19880301	ES 1986-552432	19860226
JP 61218569	A2	19860929	JP 1986-42838	19860301

PRIORITY APPLN. INFO.: NL 1985-572 19850301
GI

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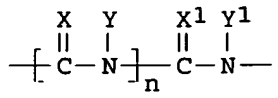
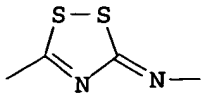
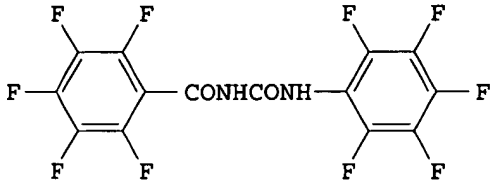
Q1= 

Q2= 



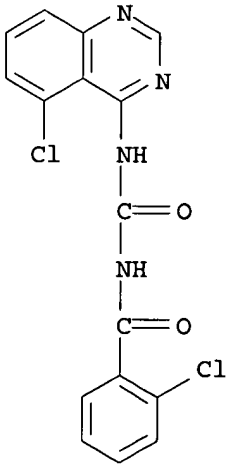
II

AB Benzoylureas R1ZR2 [I; R1 = (a)cyclic (di)(alkyl)amino, (un)substituted aryl, heteroaryl, styryl, aralkyl; R2 = (di)(alkyl)amino, (halo)alkyl, cycloalkyl, (un)substituted aryl, heteroaryl, aralkyl; Z = Q1, Q2; X, X1 = O, S, NH, alkylimino, dialkylamino (where XY forms double bond to adjacent N atom); Y, Y1 = H, haloalkyl; n = 1, 2; various specified exclusions] are prepd. as antitumor agents (approx. 120 compds.). Thus, pentafluorobenzoyl isocyanate was added to pentafluoroaniline in Et2O at room temp. and the mixt. stirred 2 h to give 70% (pentafluorobenzoyl)(pentafluorophenyl)urea II. At 50 .mu.g/mL in vitro, II gave 81-100% inhibition of B16 melanoma cell growth, vs. 1-60% inhibition by several known benzoylurea derivs. at 500 .mu.g/mL. I were also tested against several other human tumor cell lines.

IT **105353-87-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antitumor agent)

RN 105353-87-5 CAPLUS

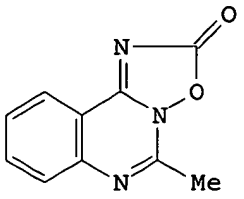
CN Benzamide, 2-chloro-N-[[(5-chloro-4-quinazolinyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



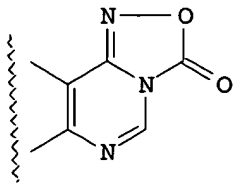
L3 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:471268 CAPLUS
DOCUMENT NUMBER: 103:71268
TITLE: A study of the preparation and reactions of the unusually labile 5-methyl[1,2,4]oxadiazolo[2,3-c]quinazolin-2-one

10/ 019,945

AUTHOR(S): Ranganathan, Darshan; Bamezai, Shakti; Ramachandran, P. Veeraraghavan
CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Kanpur, 208016, India
SOURCE: Heterocycles (1985), 23(3), 623-32
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:71268
GI

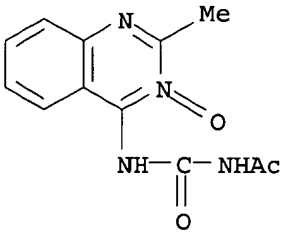


I



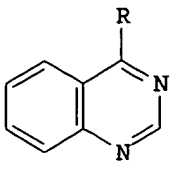
II

AB 2-Methylquinazoline-4-carbohydroxamic acid 3-oxide, on treatment with dicyclohexylcarbodiimide in dioxane, rearranged and cyclized to give the title compd. (I). The weakest bond in I is 4-5, which ruptures on thermolysis or on treatment with P(OMe)3 to give the isomeric oxadiazoloquinazolinone II. A detailed thermolytic study of I identified the products arising from scission of bonds 2-3, 3-4, and 4-5. The 3-4 bond is preferentially broken on photolysis of I in MeOH.
IT **97530-87-5P**
RL: FORM (Formation, nonpreparative); PREP (Preparation)
(formation of, in thermolysis of oxadiazoloquinazolinone deriv.)
RN 97530-87-5 CAPLUS
CN Acetamide, N-[[(2-methyl-3-oxido-4-quinazolinyl)amino]carbonyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:455767 CAPLUS
DOCUMENT NUMBER: 97:55767
TITLE: Some reactions of 4-chloroquinazoline, 6-nitro- and 6-amino-4(3H)-quinazolones
AUTHOR(S): Anwar, M.; Abdel-Hay, F. I.; Elbarbary, A. A.; El-Borai, M.
CORPORATE SOURCE: Fac. Sci., Tanta Univ., Tanta, Egypt
SOURCE: Revue Roumaine de Chimie (1981), 26(11-12), 1469-78
CODEN: RRCHAX; ISSN: 0035-3930
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 97:55767
GI

10/ 019,945



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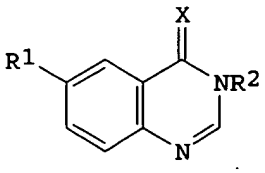


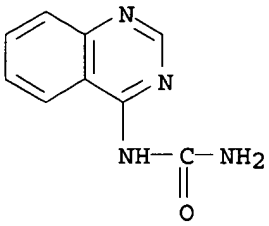
II

AB Quinazolines I [R = NHCONH2, NHCHO, NHAc, NAcPh, NAcC6H4Me-2, NAcC6H4Me-4, N-acetyl-N-1-naphthylamino, NHNHC6H4NO2-4, NHNHC6H3(NO2)2-2,4] were prepd. by aminating I (R = Cl). II (X = O, S; R1 = H, NO2; R2 = aminomethyl) were obtained by aminomethylating II (R2 = H). II (X = O, R1 = NH2, R2 = H) was treated with MeCOCH2CO2Et to give II (X = O, R1 = NHCOCH2COMe, R2 = H) which was treated with 4-R3C6H4N2+ (R3 = H, Me, OMe) to give II [X = O, R1 = 4-R3C6H4N:NC(:CMeOH)CONH, R2 = H].

IT **82435-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 82435-97-0 CAPLUS

CN Urea, 4-quinazolinyl- (9CI) (CA INDEX NAME)



L3 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:152508 CAPLUS

DOCUMENT NUMBER: 88:152508

TITLE: Oxidation of (4-quinazolinyl)thioureas

AUTHOR(S): Ried, Walter; Moesinger, Oskar; Schuckmann, Walter

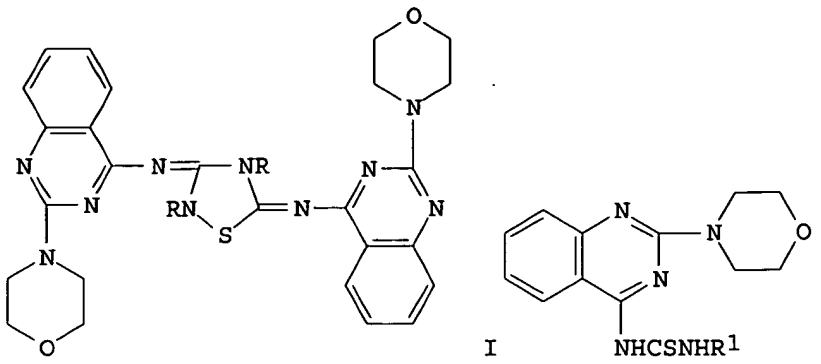
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/Main, Fed. Rep. Ger.

SOURCE: Justus Liebigs Annalen der Chemie (1977), (11-12), 1817-21
CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



I

NHCSNHR[1]

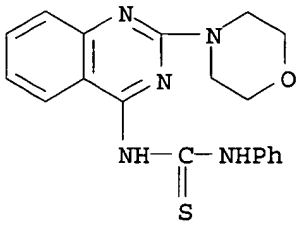
II

AB Thiadiazolidines I (R = 4-O2NC6H4, 4-BrC6H4, Ph, 4-Et2NC6H4, PhCH2, Me) were obtained in 70-91% yield by oxidizing quinazolinylthioureas II with iodine. II (R1 = 4-BrC6H4, 4-Et2NC6H4) were prepd. by treating 2-morpholino-4-quinazolinyl isothiocyanate with amines R1NH2.

IT **41763-71-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidn. of)

RN 41763-71-7 CAPLUS

CN Thiourea, N-[2-(4-morpholinyl)-4-quinazolinyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:121229 CAPLUS

DOCUMENT NUMBER: 88:121229

TITLE: 4-Quinazolinylguanidines

INVENTOR(S): Merkel, Wulf; Alpermann, Hans Georg; Geisen, Karl; Kothe, Norbert; Ried, Walter

PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2623846	A1	19771215	DE 1976-2623846	19760528
US 4128643	A	19781205	US 1977-800918	19770526
JP 52148085	A2	19771208	JP 1977-61768	19770528
FR 2352804	A1	19771223	FR 1977-16549	19770531

PRIORITY APPLN. INFO.: DE 1976-2623846 19760528

GI

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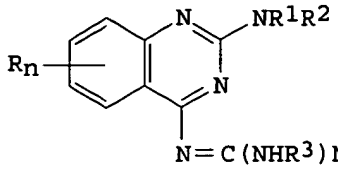


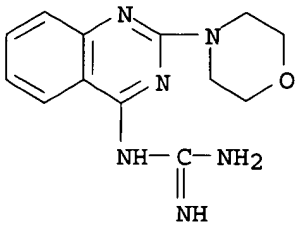
I

AB Quinazoline derivs. I (R = H, halo, CF3, alkyl, alkoxy, Ph, H2N, annelated ring, etc.; n = 1-4; R1 = R2 = alkyl, cycloalkyl; R1R2N = heterocycle, e.g., pyrrolidino, 1-piperazinyl; R3 = R4 = H, alkyl, cycloalkyl, PhCH2; R3R4 = alkylene, alkenylene) were prepd. for use as antidiabetics (no data). Thus, R1R2NCONHPh (R1R2N = 1-pyrrolidinyl) reacted with PPh3 and CCl4 in MeCN to give R1R2NCCl:NPh, which reacted with NCN:C(NH2)2 to give I (R = R3 = R4 = H, R1R2N = 1-pyrrolidinyl).

IT **60991-74-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 60991-74-4 CAPLUS

CN Guanidine, [2-(4-morpholinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:121027 CAPLUS

DOCUMENT NUMBER: 88:121027

TITLE: 2-Imino-1,3-thiazetidines from thioureas with an intramolecular hydrogen bond

AUTHOR(S): Ried, Walter; Moesinger, Oskar

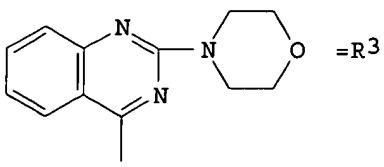
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt/Main, Frankfurt/Main, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1978), 111(1), 143-54
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

GI



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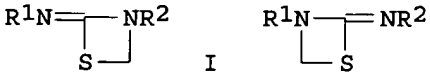


I II

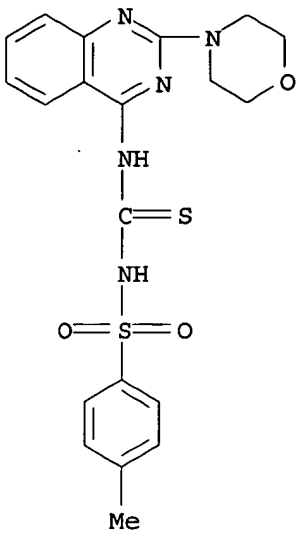
AB R1NHCSNHR2 (R1 = R3, R2 = 4-MeC6H4SO2; R1 = PhN:CPh, 4-MeC6H4CO, 4-MeC6H4SO2, R2 = Ph, CH2Ph) cyclized with CH2I2 in the presence of NEt3

to give 6-98% thiazetidines I rather than the isomeric II, because of intramol. H bonding between the proton-acceptor R1 and the N2 proton.

IT **65739-29-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of, with diiodomethane)

RN 65739-29-9 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-[[[2-(4-morpholinyl)-4-quinazolinyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:551868 CAPLUS
DOCUMENT NUMBER: 87:151868
TITLE: Urea derivatives
INVENTOR(S): Yamamoto, Michihiro; Koshiba, Masao; Yamamoto, Hisao
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52073801	A2	19770621	JP 1975-151617	19751217
JP 59008272	B4	19840223		

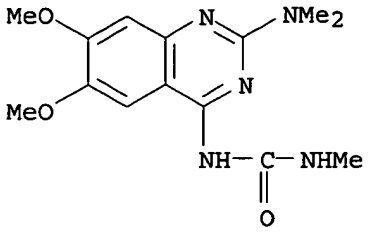
PRIORITY APPLN. INFO.: JP 1975-151617 19751217

AB Sixty-five urea derivs. RR1NCONR2R3 (R = alkyl, cycloalkyl, aralkyl, adamantyl, aryl, heterocyclic; R1 = H, alkyl, haloalkyl, cycloalkyl, cycloalkylalkyl; RNR1 may form a ring; R2 = H, alkyl, alkenyl, cycloalkyl, aralkyl, alkoxy; R3 = H, alkyl, alkenyl; R2NR3 may form a ring) were prepd. by reaction of RR1NH with X3CCO2H (X = halo) or their derivs. followed by reaction of the resulting RR1NCOCX3 with R2R3NH. Thus, 10 g Et3N was added to a mixt. of 12.8 g 4-ClC6H4NH2 and 18.2 g Cl3CCOCl in C6H6 with ice cooling and the whole stirred 5 h at room temp. to give 86% 4-ClC6H4NHCOCCl3 (I). Autoclaving 1.37 g I with 3 g NH3 at room temp. overnight gave 94% 4-ClC6H4NHCONH2.

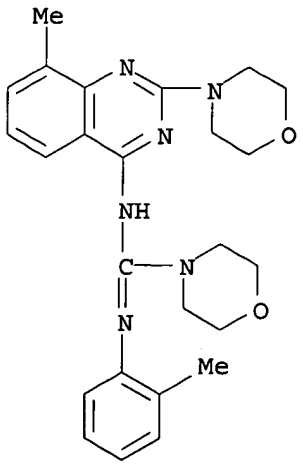
IT **24162-82-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)

10/ 019,945

(prepn. of)
RN 24162-82-1 CAPLUS
CN Urea, N-[2-(dimethylamino)-6,7-dimethoxy-4-quinazolinyl]-N'-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:591941 CAPLUS
DOCUMENT NUMBER: 85:191941
TITLE: Tautomerism of heterocyclic compounds, V. The reactions of chloroformamidines and N-phenylbenzimidoyl chloride with N-cyanoamidines and 1-cyanoguanidine
AUTHOR(S): Ried, Walter; Kothe, Norbert
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt/Main, Frankfurt/Main, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1976), 109(8), 2706-15
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Chloroformamidines (I; R = H, o-Me, p-Cl, etc.) are treated with R1C(NH2)NCN (R1 = CCl3, Ph, Me) to yield II, III, and IV (R, R1 as above). I are treated with NCN:C(NH2)2 to yield V (R as above). A mechanism involving VI as the initial intermediate was postulated for the formation of III.
IT **55434-71-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55434-71-4 CAPLUS
CN 4-Morpholinecarboximidamide, N-[8-methyl-2-(4-morpholinyl)-4-quinazolinyl]-N'-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:180265 CAPLUS
DOCUMENT NUMBER: 84:180265
TITLE: Quinazoline derivatives
INVENTOR(S): Danilewicz, John C.; Evans, Anthony Garth; Ham, Allan L.; Thomson, Colin
PATENT ASSIGNEE(S): Pfizer Inc., Panama
SOURCE: Ger. Offen., 61 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2530894	A1	19760205	DE 1975-2530894	19750710
DE 2530894	C2	19831222		
GB 1460389	A	19770106	GB 1975-416	19750106
IL 47625	A1	19810130	IL 1975-47625	19750702
AT 7505252	A	19771115	AT 1975-5252	19750708
SE 7508101	A	19760126	SE 1975-8101	19750715
SE 420921	B	19811109		
SE 420921	C	19820218		
CA 1060445	A1	19790814	CA 1975-231570	19750715
AU 7583174	A1	19770120	AU 1975-83174	19750718
PL 103798	P	19790731	PL 1975-193419	19750718
PL 103789	P	19790731	PL 1975-193420	19750718
PL 103791	P	19790731	PL 1975-193421	19750718
PL 103797	P	19790731	PL 1975-193423	19750718
PL 104615	P	19790831	PL 1975-193422	19750718
HU 174961	P	19800428	HU 1975-PI483	19750718
RO 71841	P	19800815	RO 1975-89559	19750719
RO 69296	P	19810830	RO 1975-82903	19750719
RO 71840	P	19820909	RO 1975-89560	19750719
JP 51036469	A2	19760327	JP 1975-89119	19750721
JP 55027062	B4	19800717		
DD 119046	C	19760405	DD 1975-187385	19750721
CS 192549	P	19790831	CS 1975-5147	19750721
FI 7502104	A	19760126	FI 1975-2104	19750722
FI 66182	B	19840531		
FI 66182	C	19840910		
BE 831654	A1	19750123	BE 1975-158540	19750723
DK 7503371	A	19760126	DK 1975-3371	19750724
DK 138800	C	19790409		
DK 138800	B	19781030		
NL 7508824	A	19760127	NL 1975-8824	19750724
NL 159982	B	19790417		
FR 2279406	A1	19760220	FR 1975-23218	19750724
FR 2279406	B1	19800430		
US 4001422	A	19770104	US 1975-598723	19750724
ES 439690	A1	19770701	ES 1975-439690	19750724
CH 608803	A	19790131	CH 1975-9692	19750724
CH 611616	A	19790615	CH 1978-7113	19750724
SU 578874	D	19771030	SU 1975-2162232	19750725
JP 55030796	B4	19800813	JP 1976-5382	19760120
SU 858563	A3	19810823	SU 1976-2386166	19760802
SU 625606	D	19780925	SU 1976-2388320	19760810
SU 634671	D	19781125	SU 1976-2388318	19760810
AT 7704532	A	19771115	AT 1977-4532	19770627
AT 7704531	A	19771115	AT 1977-4531	19770627
AT 7704530	A	19771115	AT 1977-4530	19770627

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CS 192534	P	19790831	CS 1977-8425	19771215
CS 192535	P	19790831	CS 1977-8426	19771215
CH 615674	A	19800215	CH 1978-7112	19780629
PRIORITY APPLN. INFO.:			GB 1974-32805	19740725
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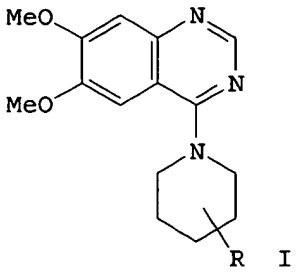
			CH 1975-9692	19750724

GI



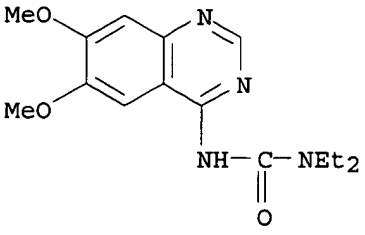
R I

AB Pos. inotropic and chronotropic (no data) piperidinoquinazolines I (R = acylamino, ureido, thioureido, N-alkyl-N-acylamino, N-alkylureido, N-alkylthioureido, carbamoyloxy) (.apprx.90 compds.) were prepd. Thus 45 g 4-chloro-6,7-dimethoxyquinazoline was treated with 80 g 4-(3-butylureido)piperidine-HCl to give 21 g I (R = 4-NHCONHBu).

IT **59185-38-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 59185-38-5 CAPLUS

CN Urea, N'-(6,7-dimethoxy-4-quinazolinyl)-N,N-diethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L3 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:170822 CAPLUS

DOCUMENT NUMBER: 82:170822

TITLE: Tautomerism of heterocyclic compounds. IV. On the reactions of chloroformamidines and imidoyl chlorides with cyanamides

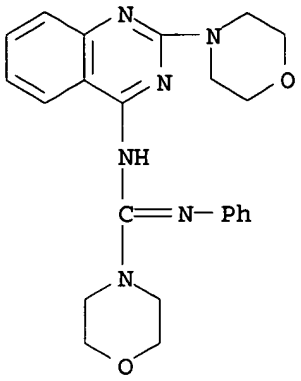
AUTHOR(S): Ried, Walter; Kothe, Norbert; Merkel, Wulf

CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/Main, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1975), 108(1), 181-90
CODEN: CHBEAM; ISSN: 0009-2940

10/ 019,945

DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The chloroformamidines I (Rn = H, 2-Me, 4-Cl, or benzo[b]) reacted with H2NCN in 2:1 molar ratio to give 32-56% quinazolines II. The reaction of I (Rn = H, 2-Me, 4-Cl, or 4-Ph) with 4-cyanomorpholine led to the dimorpholino compds. III.
IT **55434-70-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55434-70-3 CAPLUS
CN 4-Morpholinecarboximidamide, N-[2-(4-morpholinyl)-4-quinazolinyl]-N'-phenyl- (9CI) (CA INDEX NAME)



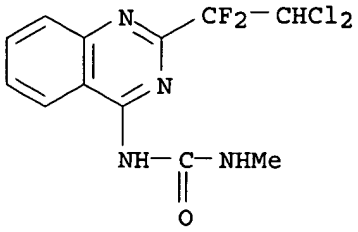
L3 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:449647 CAPLUS
DOCUMENT NUMBER: 81:49647
TITLE: Heterocycles from methyl 3,3-dichloro-2,2-difluoropropionimidate
AUTHOR(S): Roechling, Hans; Hoerlein, Gerhard
CORPORATE SOURCE: Farbwerke Hoechst A.-G., Frankfurt am Main, Fed. Rep. Ger.
SOURCE: Justus Liebigs Annalen der Chemie (1974), (3), 504-22
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Triazoles (I, R = e.g. H, PhO2C, Cl3CS, BuNHCO, or 3,4-Cl2C6H3; R1 = e.g. H, HO, Cl, HS, or PhNHCS2), oxadiazoles (II, R2 = e.g. H2N, EtO2CNH, MeNHCONH, NCSCH2, 4-O2NC6H4OCH2, or CCl3; and III, R3 = e.g. Me, CCl3, C6H4CF3-3, CH2Cl, CH2S2CN Et2,CH2SCN, CH2SPh, or CH2OC6H3Cl2-3,4), thiadiazoles (IV, R4 = e.g. AcNH, MeNHCONH, ClCH2CONH, MeONMeCONMe, or Me2NCH:N; and V, R5 = Cl, OEt, OBu, or S2CNEt2), the pyrimidine VI, and quinazolines [VII, n = 0 or 1; R6 = e.g. SCN, SP(S)(OEt)2, CN, NH2, NHCONHMe, or O2CNH Bu; R7 = H or Br; R8 = H, Cl, or HO; or R7R8 = benzo] were prepd. from HN:C(OMe)CF2CHCl2 (VIII) or its derivs. Thus, VIII reacted with H2NNHCOR9 (R9 = H, OEt, or NH2) to give HN:C(CF2CHCl2)NHNHCOR9 (IX), which were cyclized to give I (R = H; R1 = H or HO). I (R = Ph, R1 = HS) was prepd. by reaction of Cl2CHCF2CONHNH2 with PhNCS. II (R2 = H2N or ClCH2) were prepd. by cyclization of IX (R9 = NH2) or Cl2CHCF2CONHNHCOCH2Cl, resp. Reaction of VIII with NH2OH gave H2NC(CF2CHCl2):NOH, which on treatment with (R10CO)2O (R10 = e.g. Me, CH2Cl, CHCl2, or Ph) gave III (R3 = R10). Reaction of VIII with H2NNHCSNH2 in AcOH gave IV (R4 = AcNH). HN:C(CF2CHCl2)NH2.AcOH, prepd. from VIII and AcONH4, was treated with Cl3CSCl or successively with MeCOCH2CO2Et and PCl5-POCl3 to give V (R5 = Cl) or VI, resp. VII (n = 0,

R6 = Cl) or VII (n = 1, R6 = OH) were prepd. by successive reaction of VIII with anthranilates (X) andPCl5-POCl3 or of Cl2CHCF2COCl with 2-H2NC6H4CO2Me and NH2OH, resp. Other derivs. were obtained from the hetero-cycles by corresponding substitution reactions.

IT **53644-82-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 53644-82-9 CAPLUS

CN Urea, N-[2-(2,2-dichloro-1,1-difluoroethyl)-4-quinazolinyl]-N'-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1973:546480 CAPLUS

DOCUMENT NUMBER: 79:146480

TITLE: Tautomerism of heterocyclic compounds. III. 1,3-Thiazetidines from thioureas with an intramolecular hydrogen bond

AUTHOR(S): Ried, Walter; Merkel, Wulf; Moesinger, Oskar

CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/M., Fed. Rep. Ger.

SOURCE: Justus Liebigs Annalen der Chemie (1973), (8), 1362-71
CODEN: JLACBF; ISSN: 0075-4617

DOCUMENT TYPE: Journal

LANGUAGE: German

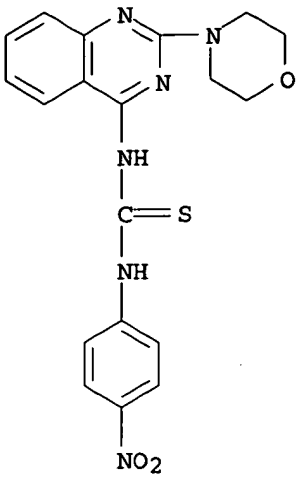
GI For diagram(s), see printed CA Issue.

AB Reaction of the asym. thioureas (I, R = Ph, substituted phenyl, CH2Ph, or NHCO2Et) with CH2I2 in the presence of Et3N gave 40-85% thiazetidines II, dependent on the strength of the intramol. H bridge bond of I. Thioureas without H bridge bond reacted with CH2I2, if at all, only very slowly and with small yields.

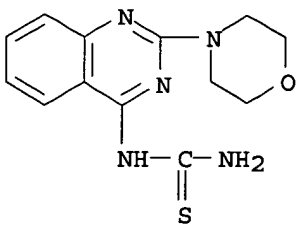
IT **50499-89-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 50499-89-3 CAPLUS

CN Thiourea, N-[2-(4-morpholinyl)-4-quinazolinyl]-N'-(4-nitrophenyl)- (9CI) (CA INDEX NAME)



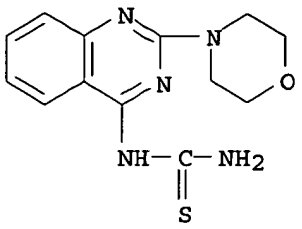
L3 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:431264 CAPLUS
DOCUMENT NUMBER: 79:31264
TITLE: Tautomerism of heterocyclic compounds. I. Tautomerism of 4-quinazolylthioureas and related compounds
AUTHOR(S): Merkel, Wulf; Ried, Walter
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/M., Fed. Rep. Ger.
SOURCE: Chemische Berichte (1973), 106(2), 471-83
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The prototropic tautomerism and H bond interactions of 4-quinazolylthioureas (I, R = amino) and -thioamides and II were examd. by ir and NMR spectroscopy. I were prepd. by the reaction of 2-morpholino-4-isothiocyanatoquinazoline with primary or secondary amines and existed mainly in the amino or imino form, resp. Both II tautomers were isolated.
IT **41279-53-2**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(tautomerism of, ir and NMR in relation to)
RN 41279-53-2 CAPLUS
CN Thiourea, [2-(4-morpholinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:136204 CAPLUS

10/ 019,945

DOCUMENT NUMBER: 78:136204
TITLE: Tautomerism of heterocycles. II. Structure of 4-(cyanamino)-2-morpholinoquinazoline
AUTHOR(S): Merkel, Wulf; Ried, Walter
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/M., Fed. Rep. Ger.
SOURCE: Chemische Berichte (1973), 106(3), 956-60
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
AB Ir spectra of the title compd. and some derivs. show that the "quinazolyl-cyanamide" exists in solid state as the imine I.
IT **41279-53-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with mercury bis(phenylacetylide))
RN 41279-53-2 CAPLUS
CN Thiourea, [2-(4-morpholinyl)-4-quinazolinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:524470 CAPLUS
DOCUMENT NUMBER: 71:124470
TITLE: Hypotensive quinazolinylureas
INVENTOR(S): Hess, Hans J. E.
PATENT ASSIGNEE(S): Pfizer, Chas., and Co., Inc.
SOURCE: Ger. Offen., 25 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1901519	A	19690828	DE 1969-1901519	19690114
US 3574212	A	19710406	US 1968-702534	19680202
GB 1195932	A	19700624	GB 1968-1195932	19680509
SE 358166	B	19730723	SE 1968-18055	19681231
BE 726984	A	19690716	BE 1969-726984	19690116
FR 2001171	A5	19690926	FR 1969-654	19690116

PRIORITY APPLN. INFO.: US 1968-702534 19680202
GI For diagram(s), see printed CA Issue.
AB The title compds. I were prepd. by treating the corresponding 4-aminoquinazolines with an alkyl or an inorg. isocyanate or by replacing the Cl in 1-(2-chloro-4-quinazolinyl)-3-alkyl ureas by an amine or a N-heterocycle. Thus, 20.6 g. MeNCO and 4.84 g. 2-dimethylamino-4-amino-6,7-dimethoxyquinazoline in 150 ml. pyridine were kept in an autoclave 4 hrs. at 80.degree., the mixt. cooled in an ice-bath, the cryst. ppt. filtered, washed with ether, and dried to give 80% I (R1 = R2 = R3 = Me, R4 = R5 = MeO), m. 260-3.degree.. Similarly prepd. were the following I (R4, R5 = MeO) (R1, R2, R3 given): Me, Me, Et; Me, Me, iso-Pr; Me, Me, n-hexyl; Ph, Ph, Me; benzyl, benzyl, Me; allyl, allyl, Me; CH2:-CH(CH2)3

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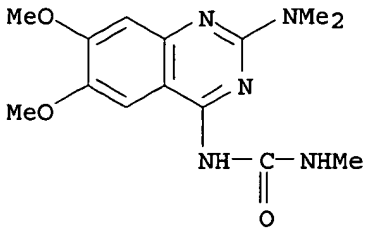
(A), A, Me; benzyl Ph, Me; (2-C4H3O)CH2, Me, Me; 3-FC6H4, 3-FC6H4, Et; Me, Me, H; Ph, Ph, H; CF3CH2, CF3CH2, H; H, H, H; HOCH2CH2, HOCH2CH2, H; (2-C4-H3O)CH2, H, Me; 2-MeOC6H4, H, Me; CF3CH2, H, Me; 3-FC6H4, H, Et; H, H, Et. Further prepd. were these II (R4 = R5 = MeO) (R3 and NR1R2 given): Me, 4-(furoyl)-1-piperazinyl, m. 240-3.degree.; Me, 4-allyl-1-piperazinyl, m. 248-50.degree.; Me, 4-carbisobutoxy-1-piperazinyl, m. 241-3.degree..

IT **24162-82-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 24162-82-1 CAPLUS

CN Urea, N-[2-(dimethylamino)-6,7-dimethoxy-4-quinazolinyl]-N'-methyl- (9CI) (CA INDEX NAME)



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L3 38 S L2

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	173.20	321.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-24.74	-24.74

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